

Translation

PATENT COOPERATION TREATY

PCT/EP2003/003980



PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 2002/M 208	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP2003/003980	International filing date (day/month/year) 16 April 2003 (16.04.2003)	Priority date (day/month/year) 26 April 2002 (26.04.2002)
International Patent Classification (IPC) or national classification and IPC C07D 251/42, C07C 311/16, A01N 43/66		
Applicant BAYER CROPSCIENCE GMBH		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 10 sheets, including this cover sheet.
☒ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of 1 sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☒ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 05 November 2003 (05.11.2003)	Date of completion of this report 21 September 2004 (21.09.2004)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/EP2003/003980

I. Basis of the report

1. With regard to the elements of the international application:*

- ☐ the international application as originally filed
- ☒ the description:
 pages 1-27, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____
- ☒ the claims:
 pages 1-10, 11(partly), 16(partly), 17, as originally filed
 pages _____, as amended (together with any statement under Article 19
 pages _____, filed with the demand
 pages 11(partly), 12-15, 16 (partly), filed with the letter of 27 August 2004 (27.08.2004)
- ☐ the drawings:
 pages _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____
- ☐ the sequence listing part of the description:
 pages _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

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IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees the applicant has:

- ☐ restricted the claims.
- ☒ paid additional fees.
- ☐ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☐ not complied with for the following reasons:

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.
- ☐ the parts relating to claims Nos. _____

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV

Lack of unity of the invention

This international application contains multiple inventions, as follows:

1. Claims 1-10, 17

Process for the production of compounds of the general formula (I), intermediates of formula (VIII) and production thereof

2. Claims 11-16

Process for the production of intermediates (II) and the intermediates of formula (IIa), which are a sub-group of compounds (II).

A set of claims meets the unity of invention requirement if the claims are linked by a common technical feature representing a contribution over the prior art.

In the present case, the technical feature common to claims 1-10 and 11-16 consists in the compounds (II) and (IIa), only the latter being claimed as products.

Even in its initial stages, the search revealed that at least the compound (IIa) wherein Hal1 and Hal2 are chlorine and X* represents bromine is known in the prior art (cf. Blanchard et al., Am. Chem. J. 30 (1903), 508; cited in the international search report). The unity of invention requirement is not therefore satisfied, and

Supplemental Box

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV

claims 1-10 and 11-16 must thus be regarded as two separate inventions.

Furthermore, an invention consisting of novel low molecular weight end products and some groups of novel low molecular weight intermediates meets the unity of invention requirement only if the groups of intermediates prepared with the objective of producing the end products are, as a result of the incorporation of an essential structural element, in a close technical relationship therewith.

Apart from the fact that, in the present case, neither the end products nor the intermediate compounds are novel, the intermediate compounds (II) and (IIa) are structurally so different from the end products (I) that there is no discernible technical relationship.

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V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10, 13, 15-17	YES
	Claims	11-12, 14	NO
Inventive step (IS)	Claims	1-10, 13, 15-17	YES
	Claims	11-12, 14	NO
Industrial applicability (IA)	Claims	1-17	YES
	Claims		NO

2. Citations and explanations

Invention 1 - Claims 1-10, 17

D1: DATABASE CROSSFIRE BEILSTEIN Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; XP002253556

D2: WO 92/13845 A (HOECHST AG) 20 August 1992 (1992-08-20)

D3: EP-A-0 378 082 (BASF AG) 18 July 1990 (1990-07-18)

D6: DE 199 46 341 A (AVENTIS CROPS SCIENCE GMBH) 5 April 2001 (2001-04-05)

The present application discloses two different processes for the production of the known compounds (I) (claims 1-8, 17), intermediates of formula (VIII) obtained in the process defined in claims 1-8 and production thereof (claims 9-10), a process for the production of compounds (II) (claims 11-14), and compounds (IIa) as sub-group of compounds (II) (claims 15-16).

The claimed process for the production of compounds (I) can be subdivided into the following reaction steps:

- (i) reaction of a compound (II) with a compound of the formula R-Q-H to form (III)

- (ii) ammonolysis of a compound (III), resulting in compound (IV)
- (iii) (a) reaction of (IV) with a cyanate to form the phenylsulphonyl isocyanate of formula (V) or
(b) direct reaction of (III) with a cyanate to form the isocyanate of formula (V) or a solvated derivative of (V)
- (iv) reaction of (V) with (VI) to form (I)

Observations on claims 1-8 and 10:

Compounds of the general formula (I) and the production thereof are described in D2. There, in a manner similar to the process defined in the application, a compound of formula (III) is reacted to form (IV) and subsequently (V), phosgene (variant (b) of the process defined in the application) being used in the latter reaction step (cf. examples 6 and 11 of D2).

Furthermore, in D2 the reaction of (V) with (VI) to form (I) is claimed but is not supported by examples. This reaction, i.e. process step (iv) of the process defined in the application, is described in D3, for example (cf. section 4.1).

The reactants used in the D2 process are compounds of the general formula (III); their production is not described in D2, but the D2 description (page 7, last paragraph) refers to a method for their production that is totally different from that defined in the application.

Step (i) of the process defined in the application is a reaction known from D1, for example.

The subject matter of claims 1-8 is therefore novel (PCT Article 33(2)).

The present application claims an intermediate compound (VIII) which was not isolated but the absence of which was detected by displacement of a band in the IR spectrum, according to the description.

Compound (VIII) is not known in the prior art; the subject matter of claims 9-10 must therefore be regarded as novel (PCT Article 33(2)).

The problem addressed by the present invention is to provide an alternative process for the production of the known compounds (I).

The problem was solved, as is clear from the description.

As already stated above, a person skilled in the art would have to combine at least three different prior art documents to arrive at the process defined in the application.

Since this cannot be regarded as obvious, an inventive step (PCT Article 33(3)) is acknowledged for the subject matter of claims 1-8 and therefore also for the subject matter of claims 9-10.

Observations on claim 17:

A process for the production of compounds (I) as defined in the application is known from D6 (claim 1). In this citation a sulphonyl halide (II) corresponding to compounds (III) as defined in the application is reacted with ammonia to form a sulphonamide (III) (cf. D6,

examples 1-4). The sulphonamide is then reacted with phosgene to form the isocyanate (IV) (corresponding to compound (V) as defined in the application) (cf. D6, examples 5-6). The isocyanate is then coupled, in a manner entirely similar to the process defined in the application, with an aminoheterocycle (V) (corresponding to compound (VI) as defined in the application) to form the end products (I) (cf. D6, example 7).

An alternative process for the production of the compounds (I) is known from D2. In that process the sulphonyl chloride is likewise initially ammonolysed and then reacted with an isocyanate to form sulphonylurea, which then reacts with phosgene to form the sulphonyl isocyanate (D2, examples, claims).

The direct conversion of the sulphonyl chloride into the sulphonyl isocyanate, as disclosed in the process defined in the application, must therefore be considered to be the technical feature delimiting said process over the prior art.

The subject matter of claim 17 is therefore novel (PCT Article 33(2)).

Closest prior art is D6.

The problem addressed by the present application is to provide an improved process for the production of compounds (I).

The problem was solved by amalgamating the first two steps of the D6 process; in other words, instead of ammonolysis followed by the reaction with phosgene, the sulphonyl chloride was converted directly into the isocyanate.

Although an isocyanate is already known from D2 as reactant in a similar process, there too ammonolysis is a necessary process step.

The problem addressed by the application is therefore solved in a manner not obvious to a person skilled in the art.

An inventive step (PCT Article 33(3)) can therefore be acknowledged for the subject matter of claim 17.

The following objection is also raised:

Contrary to the requirements of PCT Rule 5.1(a)(ii) neither the relevant prior art disclosed in documents D1-D3 and D6 nor these documents have been indicated in the description.

Invention 2 - Claims 11-16

D5: DE 26 16 612 A (IHARA CHEMICAL IND CO) 13 January 1977 (1977-01-13)

The present application discloses two different processes for the production of the known compounds (I) (claims 1-8, 17), intermediates of formula (VIII) obtained in the process defined in claims 1-8 and production thereof (claims 9-10), a process for the production of compounds (II) (claims 11-14), and compounds (IIa) as subgroup of compounds (II) (claims 15-16).

D5 relates to the production of chlorobenzoyl sulphonyl chloride, which is said to be *inter alia* a major intermediate for the production of agrochemicals (D5,

page 1, first paragraph). The term "chlorobenzoyl sulphonyl chloride" is also understood to cover appropriately substituted compounds (cf. structural formula (II) as per D5); the range of compounds covered by the general formula (II) as per D5 overlaps to a large extent with that of compounds (II) as defined in the application.

According to D5, the chlorobenzoyl sulphonyl chlorides disclosed therein are obtained by simultaneous chlorination of the sulphonyl group and carbonyl group of the starting compounds (D5, pages 3-5).

A mixture of phosgene and dimethyl formamide is used as chlorinating agent.

On page 1 of the description, D5 also refers to a process known in the prior art wherein chlorobenzoyl sulphonyl chloride is obtained by reacting an alkali metal salt or ammonium salt of an aromatic sulphocarboxylic acid with phosphorus pentachloride or a mixture of phosphorus pentachloride and phosphoryl chloride. Page 2 of the description explains the disadvantages of this process which is known from the literature.

Two of the documents mentioned in D5 are attached to this report. The documents concerned are the following articles:

D6: Blanchard, American Chemical Journal 30 (1903),
485-517

D7: Stubbs, American Chemical Journal 50 (1913),
193-204

Both D6 (pages 487-488) and D7 (pages 196-197) describe how representatives of compounds (II) as defined in the

application are produced by reacting an appropriately substituted aromatic sulphocarboxylic acid with phosphorus pentachloride.

The subject matter of claims 11-12 and 14 is therefore anticipated by the teaching of D6 and D7 in a manner prejudicial to novelty (PCT Article 33(2)).

The novelty of claims 13 and 15-16 is acknowledged (PCT Article 33(2)).

Both D6 and D7 are considered to be the closest prior art.

In the processes known from the literature the aromatic sulphocarboxylic acid is reacted directly with an excess of phosphorus pentachloride. By contrast, the process defined in claim 13 of the present application is carried out in an inert solvent using sterically hindered amine bases as catalyst.

Since the addition of sterically hindered amine bases is neither disclosed nor in any way proposed in D6 and D7, the process defined in claim 13 of the present application can be considered non-obvious.

Claim 13 of the application therefore satisfies the requirement of PCT Article 33(3).

Essential intermediates forming part of a whole process acknowledged as inventive are likewise to be regarded as inventive. The subject matter of claims 15 and 16 therefore likewise satisfies the requirement of PCT Article 33(3).

Formal objections / observations:

Contrary to the requirements of PCT Rule 5.1(a)(ii) neither the relevant prior art disclosed in documents D6-D7 nor these documents have been indicated in the description.

It should be noted that, to avoid inordinately high fees, the two inventions will be examined together in any European procedure.